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Recent Trends in the Biological Activities of 1, 2, 3 - Triazole Derivatives: A Review

M Aruna Kumari

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Author's Affiliations: Assistant Professor, Department of Science and Humanities, RISE Krishna Sai Prakasam Group of Institutions, Ongole 523 002 Andhra Pradesh, India.

Corresponding Author: M Aruna Kumari, Assistant Professor, Department of Science and Humanities, RISE Krishna Sai Prakasam Group of Institutions, Ongole 523 002 Andhra Pradesh, India.

Email: maddineniaruna84@gmail.com

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Abstract

1, 2, 3 - Triazole is a five member heterocyclic compound containing three nitrogen atoms in adjacent position. 1, 2, 3 - Triazole and its derivatives are considered as a pharmacologically important active scaffold that possesses a lot of pharmacological activities. Owing to this diversity in the biological field, this nucleus has attracted the attention of many researchers to study it's biological activities. In this review we discuss mainly the recent developments in the biological activities of different 1, 2, 3 - Triazole derivatives.

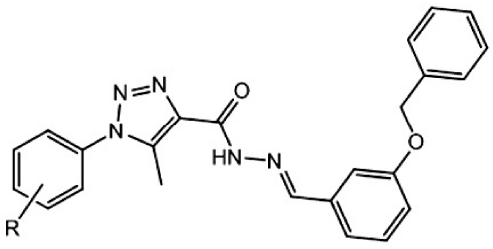
Keywords: 1, 2, 3 – Triazole; Heterocyclic Compound; Nitrogen; Antimicrobial Activity.

Introduction

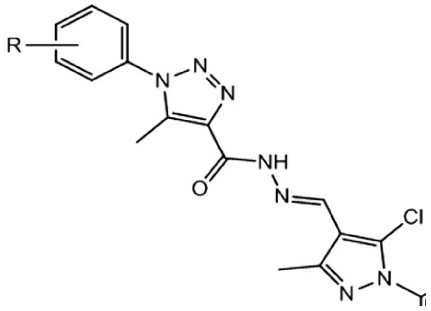
Heterocyclic chemistry is an important branch of organic chemistry and medicinal chemistry. In these compounds hetero atoms like nitrogen, sulphur, oxygen and others play an important role in the reactivity and activity along with metabolism and pharmacokinetics. 1,2,3 - Triazoles are aromatic heterocyclic organic compound containing a five member ring with three nitrogen atoms in the ring. They have resistance to oxidation, reduction, and hydrolysis in both acidic ad basic conditions. They actively participate in hydrogen bond formation, dipole dipole and pi stacking interactions which augment their binding ability with different biological targets.[1] They give privileged medicinal scaffolds as a result of their important biological activities including anti-HIV[2], anti-microbial[3,4], anti-tubercular agent[5,6], anti-bacterial[7] anti-tumor[8] Cytotoxicity[9] antioxidant[10], antimalarial[11]] antidiabetic.[12] Thus in view of the above we focus on recent progress in the biological activities of 1,2,3 – triazole derivatives in this article.

Biological Activities of 1, 2, 3-Triazole Derivatives

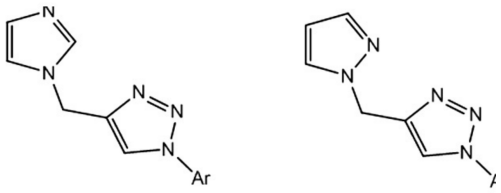
Rajesh Narigara et al., have synthesized N'-(3-(benzyloxy)benzylidene)-5-methyl-1-phenyl-1 H-1,2,3-triazole-4-carbohydrazide and examined their antimicrobial activity.[13]



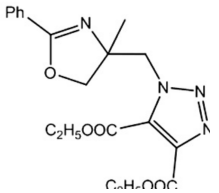
Yogesh Bhola et al., have synthesized N'-[(5-chloro-3-methyl-1-phenyl-1H-pyrazol-4-yl) methylene]-5-methyl-1-phenyl-1H-1,2,3-triazole-4-carbohydrazide and examined their antimicrobial activity.[14]



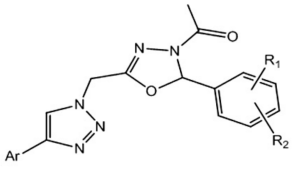
Suresh Seeka et al., have synthesized 1,2,3-triazole derivatives containing 1,4-benzothiazin-3-one ring 4-[(1H-imidazol-1-yl)methyl]-1-phenyl-1H-1,2,3-triazole and 4-[(1H-pyrazol-1-yl)methyl]-1-phenyl-1H-1,2,3-triazole and screened for their in vitro antibacterial activity.[15]



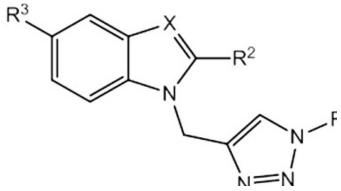
S. Boukhssas et al., have synthesized diethyl 1-((4-methyl-2-phenyl-4,5-dihydrooxazol-4-yl) methyl)-1H-1,2,3-triazole-4,5-dicarboxylate and also tested in vitro for its antibacterial activity against Gram-positive bacteria (Staphylococcus aureus) and Gram-negative bacteria (Escherichia coli).[16]



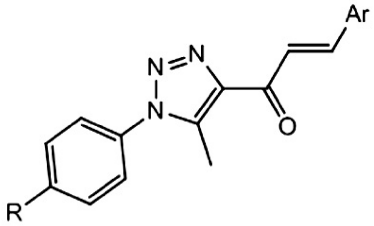
AAM Alkhaldi et al., have synthesized a novel 1,2,3-triazole derivatives and examined antibacterial and anti-parasitic activity and also subjected to molecular docking studies using glyceraldehyde-3-phosphate dehydrogenase (GAPDH) Molecular Operating Environment (MOE) program.[17]



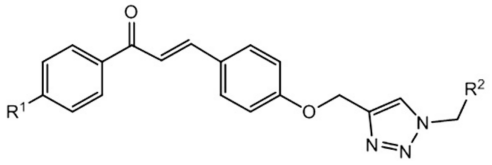
S. Maracˇic´ et al., have synthesized 1,2,3-triazole pharmacophore-based benzofused heterocycles were designed and synthesized to evaluate their antibacterial activities against selected Gram-positive and Gram-negative bacteria.[18]



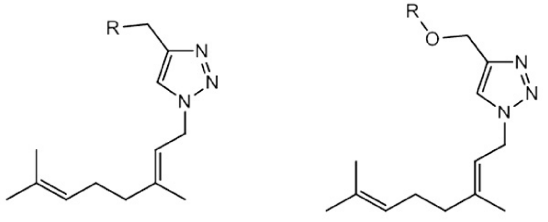
H.F. Ashour et al. have synthesized a new series of 1,2,3-triazole-chalcone hybrids and screened in vitro against a panel of 60 human cancer cell lines according to NCI (USA) protocol.[19]



P. Yadav et al. have synthesized a series of chalcone linked-1,2,3-triazoles via cellulose supported copper nanoparticle catalyzed click reaction in water and subjected to 3-(4,5-dimethylthiazole-2-yl)-2, 5-diphenyltetrazolium bromide (MTT) cytotoxicity assay against a panel of four human cancer cell lines MCF-7, MIA-Pa-Ca-2, A549, Hep G2 to check their anticancer potential.[20]

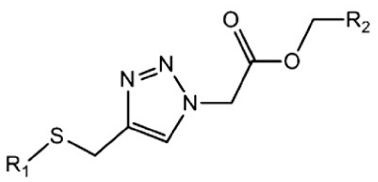


Nitin Dubey et al., have synthesized 1,2,3-triazole –geraniol derivatives and explored their antimicrobicidal and antioxidant potential with molecular docking profile.[21]

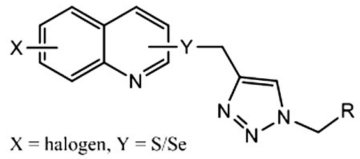


C. P. Kaushik et al., have synthesized 1,4 -

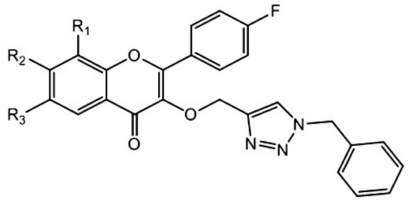
disubstituted 1,2,3-triazole analogs comprising thioether and ester linkages by employing Cu(I) catalyzed Huisgen 1,3-dipolar cycloaddition. Newly synthesized compounds were screened for in vitro antimalarial evaluation against P. falciparum strain and microbicidal potential against B. subtilis, S. epidermidis, E. coli, P. aeruginosa, C. albicans, and A. niger.[22]



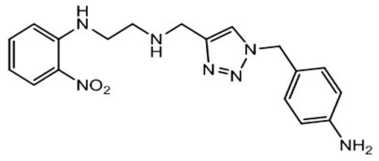
K Marciniec et al., have synthesized a small library of methylthio or methylseleno quinolyl-linked 1,4-disubstituted 1,2,3-triazole conjugates from the halogenopropargy lthio or halogenopropargyl seleno quinolines through one-pot click reaction and examined their antiproliferative effect against C-32, T-47D, and SNB-19 cell lines by using the WST-1 assay.[23]



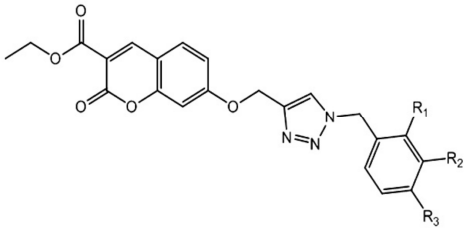
V. S. Dofe et al., have synthesized a series of 3-[(1-benzyl-1H-1,2,3-triazol-4-yl)methoxy]-2-(4-fluorophenyl)-4H-chromen-4-ones using click chemistry and evaluated their antimicrobial activity.[24]



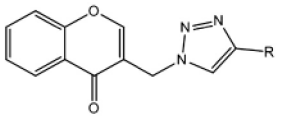
M. Allali et al., have synthesized N(triazolyl) N-(2-nitrophényl) diamine from N-(2-nitrophényl) diamine via the Cu(I)-catalyzed Huisgen dipolar cycloaddition and evaluated antibacterial activity using the disc diffusion technique.[25]



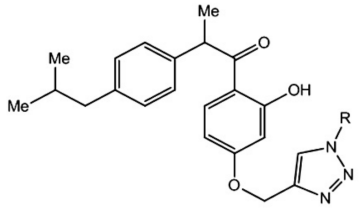
M. H. Shaikh et al., have synthesized a series of novel ethyl-7-[(1-(benzyl)-1H-1,2,3-triazol-4-yl] methoxy)-2-oxo-2H-chromene-3-carboxylates via click chemistry and examined for antifungal activity against five human pathogenic fungal strains, such as Candida albicans, Fusarium oxysporum, Aspergillus flavus, Aspergillus niger and Cryptococcus neoformans.[26]



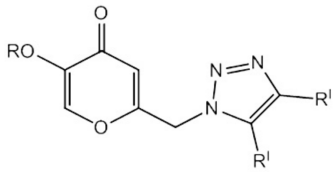
Viswanadh Nalla et al., have synthesized A series of 20 novel chromone embedded (1,2,3)-triazoles derivatives and evaluated their in vitro anti-mycobacterial activity.[27]



Kishore Kumar Angajala et al., have synthesized a number of hybrid molecules containing ibuprofen-resorcinol-triazole moieties in single molecule using Click chemistry. These synthesized analogues were screened for in vivo anti-inflammatory.[28]

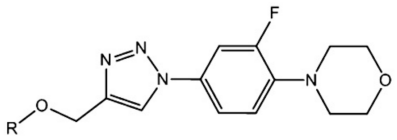


Mahnaz Saraei et al., have synthesized a series of novel 1,2,3-triazole derivatives containing kojic acid moiety by 1,3-dipolar cycloaddition reaction. The antioxidant activity of the synthesized compounds containing 5-hydroxyl group was evaluated by 1,1-diphenyl-2-picrylhydrazyl method.[29]

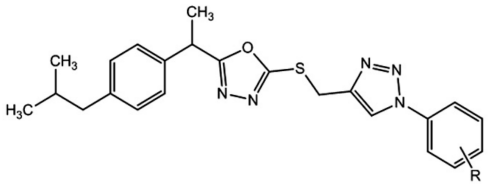


Sirassu Narsimha et al., have synthesized a series of novel 4-[3-fluoro-4-(morpholin-4-yl)]phenyl-1H-1,2,3-triazole derivatives and evaluated for their

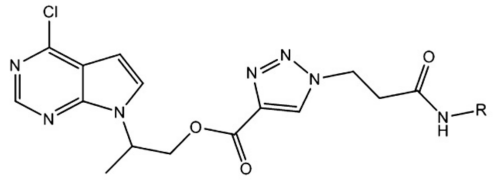
antibacterial and anticancer activity in vitro.[30]



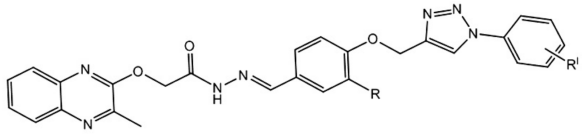
Parsharamulu Rayam et al., have synthesized a new hybrid polydentate template comprising distinctive pharmacophoric groups, like ibuprofen, 1,3,4-oxadiazole, and 1,2,3-triazole by one-pot synthesis of Cu-catalyzed "click chemistry" approach and also evaluated in vitro antibacterial and anticancer activity.[31]



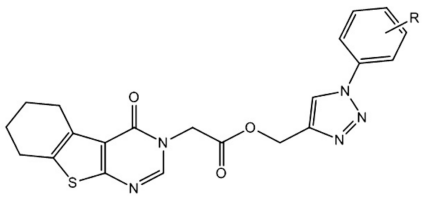
Radhakrishnamraju Ruddarraju et al., have synthesized pyrrolo(2,3-d)pyrimidines containing 1,4-disubstituted 1,2,3-triazole derivative coupled with various amines.[32]



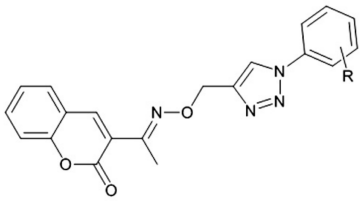
Triloknadh Settypalli et al., have synthesized a new series of quinoxaline hydrazidehydrazone-1,2,3-triazole hybrids and screened for in vitro a-glucosidase and antioxidant activities.[33]



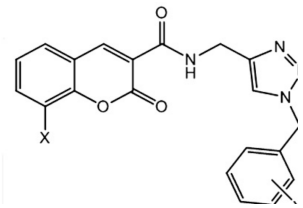
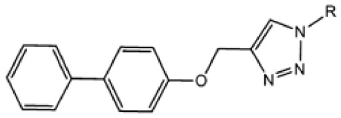
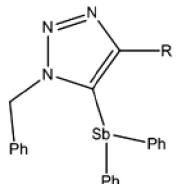
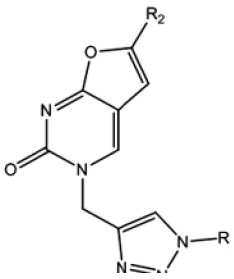
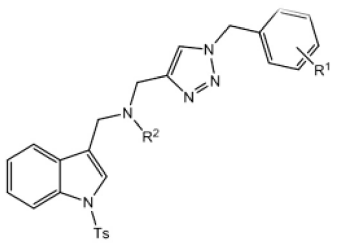
M. Aruna Kumari et al., have synthesized Novel (1-(substituted phenyl)-1H-1,2,3-triazol-4-yl)methyl-2-[4-oxo-5,6,7,8-tetrahydrobenzo(1,2)thieno(2,3-d)] pyrimidin-3(4H)-yl)acetate derivatives and evaluated antibacterial activity against Gram-negative (Escherichia coli and Klebsiella pneumonia) and Gram positive (Bacillus subtilis and Bacillus cereus) bacteria.[34]



Maddineni Aruna Kumari et al., have synthesized a series of novel 3-[1-(1-(substituted phenyl)-1H-1,2,3-triazol-4-yl) methoxyimino) ethyl]-2Hchromen-2-one derivatives by using ''click reaction'' and evaluated for their in vitro neuroprotectivity and toxicity against H2O2-induced PC12 cell lines by using MTT [3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide] reduction assay.[35]



The following are some other recently synthesized 1,2,3-triazole containing compounds which exhibit different types of biological activities.

Structure of Compound	Biological Activity
	Neuroprotective Activity [36]
	Anti-tubercular activity[37]
	Antitumor Activity.[38]
	Antiproliferative Activity.[39]
	Anti-adipogenic Activity.[40]

Conclusion

The main goal of this review is focused on biological activities of 1,2,3-triazole heterocyclic ring decorated with various functional groups and heterocyclic rings. It has been noted that the structural modifications of the basic structure of 1,2,3-triazole, have allowed the preparation of new derivatives with a broad spectrum of biological activity. Thus the compounds containing the 1,2,3 -triazole moiety will serve as an important drug candidates in chemical and biological modifications in future. For the moment, researchers have been drawn to the design of more potent pyrazole derivatives having wide diversity of biological activities in the quest for new drugs.

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